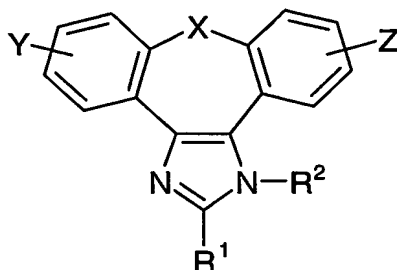


## CLAIMS

1. Use of the compounds of the general formula I



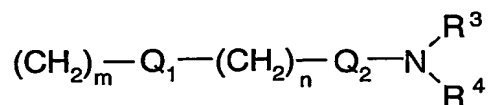
I

wherein

X means CH<sub>2</sub> or a heteroatom selected from a group consisting of O, S, S(=O), S(=O)<sub>2</sub> and NR<sup>a</sup>, wherein R<sup>a</sup> is hydrogen or a substituent selected from the group consisting of C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkanoyl, C<sub>1</sub>-C<sub>7</sub>-alkoxycarbonyl, C<sub>7</sub>-C<sub>10</sub>-arylmethoxycarbonyl, C<sub>7</sub>-C<sub>10</sub>-aroyl, C<sub>7</sub>-C<sub>10</sub>-arylalkyl, C<sub>3</sub>-C<sub>7</sub>-alkylsilyl and C<sub>5</sub>-C<sub>10</sub>-alkylsilylalkoxyalkyl;

Y and Z independently from each other mean one or more identical or different substituents linked to any available carbon atom selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>2</sub>-C<sub>4</sub>-alkenyl, C<sub>2</sub>-C<sub>4</sub>-alkinyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, trifluoromethoxy, C<sub>1</sub>-C<sub>4</sub>-alkanoyl, amino, amino-C<sub>1</sub>-C<sub>4</sub>-alkyl, N-(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, N,N-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, thiol, C<sub>1</sub>-C<sub>4</sub>-alkylthio, sulfonyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl, sulfinyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfinyl, carboxy, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, cyano and nitro;

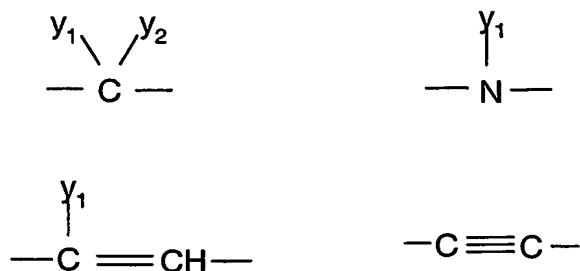
R<sup>1</sup> means CHO, CH=CHOCOCH<sub>3</sub>, (CH<sub>2</sub>)<sub>m</sub>OH wherein m represents an integer from 1 to 3, or a substituent of the formula II:



II

wherein

- $R^3$  and  $R^4$  simultaneously or independently from each other have the meaning of hydrogen,  $C_1$ - $C_4$ -alkyl, aryl having the meaning of an aromatic ring as well as fused aromatic rings containing one ring with at least 6 carbon atoms or two rings with totally 10 carbon atoms and with alternating double bonds between carbon atoms; or together with N have the meaning of heterocycle or heteroaryl wherein heterocycle relates to five-member or six-member fully saturated or partly unsaturated heterocycle group containing at least one hetero atom selected from the group consisting of O, S and N and where said heterocycle can be optionally substituted with one or two substituents which are selected from halogen,  $C_1$ - $C_4$  alkyl, cyano, nitro, hydroxy,  $C_1$ - $C_4$  alkoxy, thiol,  $C_1$ - $C_4$  alkylthio, amino,  $N$ -( $C_1$ - $C_4$ ) alkylamino,  $N,N$ -di( $C_1$ - $C_4$ -alkyl)-amino, sulfonyl,  $C_1$ - $C_4$  alkylsulfonyl, sulfinyl,  $C_1$ - $C_4$  alkylsulfinyl; and wherein heteroaryl relates to aromatic and partially aromatic groups of a monocyclic or bicyclic ring with 4 to 12 carbon atoms and at least one of them being heteroatom selected from the group consisting of O, S and N and where said heteroaryl can be optionally substituted with one or two substituents which are selected from halogen,  $C_1$ - $C_4$  alkyl, cyano, nitro, hydroxy,  $C_1$ - $C_4$  alkoxy, thiol,  $C_1$ - $C_4$  alkylthio, amino,  $N$ -( $C_1$ - $C_4$ ) alkylamino,  $N,N$ -di( $C_1$ - $C_4$ -alkyl)-amino, sulfonyl,  $C_1$ - $C_4$  alkylsulfonyl, sulfinyl,  $C_1$ - $C_4$  alkylsulfinyl;
- $m$  has the meaning of an integer from 1 to 3;
- $n$  has the meaning of an integer from 0 to 3;
- $Q_1$  and  $Q_2$  independently from each other have the meaning of oxygen, sulfur or a group:



wherein substituents

$y_1$  and  $y_2$  independently from each other have the meaning of hydrogen, halogen,  $C_1$ - $C_4$ -alkyl optionally substituted with one, two, three or more substituents selected from the group consisting of halogen atom, hydroxy,  $C_1$ - $C_4$  alkoxy, thiol,  $C_1$ - $C_4$  alkylthio, amino,  $N$ -( $C_1$ - $C_4$ ) alkylamino,  $N,N$ -di( $C_1$ - $C_4$ -alkyl)-amino, sulfonyl,  $C_1$ - $C_4$  alkylsulfonyl, sulfinyl and  $C_1$ - $C_4$  alkylsulfinyl; or monocyclic or bicyclic aryl group having from 6 to 10 carbon atoms and altering double bond and said group can be optionally substituted with one or two substituents selected from the group consisting of fluoro, chloro,  $C_1$ - $C_4$  alkyl, cyano, nitro, hydroxy,  $C_1$ - $C_4$  alkoxy, thiol,  $C_1$ - $C_4$  alkylthio, amino,  $N$ -( $C_1$ - $C_4$ ) alkylamino,  $N,N$ -di( $C_1$ - $C_4$ -alkyl)-amino, sulfonyl,  $C_1$ - $C_4$  alkylsulfonyl, sulfinyl,  $C_1$ - $C_4$  alkylsulfinyl and can be linked to the rest of the molecule by any available carbon atom via direct bond or via  $C_1$ - $C_4$  alkylene group; hydroxy,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkanoyl, thiol,  $C_1$ - $C_4$ -alkylthio, sulfonyl,  $C_1$ - $C_4$ -alkylsulfonyl, sulfinyl,  $C_1$ - $C_4$ -alkylsulfinyl, cyano, nitro, or together form a carbonyl or imino group;

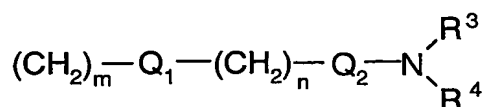
$R^2$  means hydrogen, an optionally substituted  $C_1$ - $C_7$ -alkyl or aryl wherein an optionally substituted alkyl or aryl have the meaning as defined above,  $C_1$ - $C_7$ -alkanoyl,  $C_1$ - $C_7$ -alkoxycarbonyl,  $C_7$ - $C_{10}$ -arylalkyloxycarbonyl,  $C_7$ - $C_{10}$ -aroyl,  $C_7$ - $C_{10}$ -arylalkyl,  $C_3$ - $C_7$ -alkylsilyl,  $C_6H_5CH_2CH_2$  and  $CH_2OCH_2CH_2Si(CH_3)_3$ ;

and of their pharmaceutically acceptable salts and solvates for the manufacture of pharmaceutical formulations for the treatment and prevention of diseases, damages and disorders of the central nervous system caused by disorders of neurochemical equilibrium of biogenic amines or other neurotransmitters.

2. Use according to claim 1, wherein the selected biogenic amines are serotonin, norepinephrine and dopamine.
3. Use according to claim 1, wherein neurotransmitter is glutamate.
4. Use according to claims 1, 2 or 3 wherein the compounds of the general formula I act upon the neurochemical equilibrium by regulating the synthesis, storing, releasing, metabolizing and/or reabsorption of biogenic amines or neurotransmitters and binding to their receptors.
5. Use according to claim 4, wherein the compounds of the general formula I show binding affinity to a receptor of one or more biogenic amines.
6. Use according to claim 5, wherein the compounds of the general formula I show a significant binding affinity to serotonin 5-HT<sub>2A</sub> and 5-HT<sub>2C</sub> receptors.
7. Use according to claim 6, wherein the compounds of the general formula I show binding affinity to selected serotonin receptors in a concentration of IC<sub>50</sub><1μM.
8. Use according to claim 1, wherein the compounds of the general formula I act as σ<sub>1</sub> receptor ligands in a concentration of IC<sub>50</sub><1μM by modulating central neurotransmitter system.

9. Use according to claims 1, 6 or 8, wherein the compounds of the general formula I show dual binding affinity to  $\sigma 1$  receptor and to at least one serotonin receptor selected from 5-HT<sub>2A</sub> and 5-HT<sub>2C</sub>.
10. Use according to claim 1, wherein the diseases and disorders of the central nervous system are selected from the group consisting of anxiety, depression and modest depression, bipolar disorders, sleeping disorders, sexual disorders, psychosis, borderline psychosis, schizophrenia, migraine, personality disorders and obsessive-compulsive disorders, social phobia or panic attacks, organic mental disorders in children, aggression, memory disorders and personality disorders in elderly people, addiction, obesity, bulimia and similar disorders, snoring, premenstrual troubles.
11. Use according to claim 1, wherein the damages of the central nervous system are caused by trauma, brain stroke, neurodegenerative diseases, cardiovascular disorders such as high blood pressure, thrombosis, infarct as well as by gastrointestinal disorders.
12. Use according to claim 1 wherein X represents O, S, or NR<sup>a</sup>, wherein R<sup>a</sup> is hydrogen or substituent selected from the group consisting of C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkanoyl, C<sub>7</sub>-C<sub>10</sub>-aroyl, C<sub>7</sub>-C<sub>10</sub>-arylalkyl.
13. Use according to claims 1 or 12 wherein Y and Z independently from each other mean one or more identical or different substituents linked to any available carbon atom selected from the group consisting of hydrogen, fluorine, chlorine, bromine, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, trifluoromethoxy, C<sub>1</sub>-C<sub>4</sub>-alkanoyl, amino, amino-C<sub>1</sub>-C<sub>4</sub>-alkyl, N-(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, N,N-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, thiol, C<sub>1</sub>-C<sub>4</sub>-alkylthio, cyano and nitro.

14. Use according to claims 1, 12 or 13 wherein  $R^1$  has the meaning of CHO,  $CH=CHOCOCH_3$ ,  $(CH_2)_mOH$  wherein m represents an integer from 1 to 3; or a substituent represented with the formula II:



## II

wherein

$R^3$  and  $R^4$  simultaneously or independently from each other represent hydrogen,  $C_1$ - $C_4$ -alkyl, aryl wherein aryl has the meaning as defined above or together with N have the meaning of heterocycle or heteroaryl selected from the group consisting of morpholine-4-yl, piperidine-1-yl, pyrrolidine-1-yl, imidazole-1-yl and piperazine-1-yl;

m has the meaning of an integer from 1 to 3;

n has the meaning of an integer from 0 to 3;

$Q_1$  and  $Q_2$  independently from each other have the meaning of oxygen or  $CH_2$  group.

15. Use according to claim 1, wherein the compounds of the general formula I, pharmaceutically acceptable salts and solvates thereof are selected from the group consisting of:

*1-methyl-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulene-2-carbaldehyde;*

*1-methyl-1H-8-thia-1,3-diaza-dibenzo[e,h]azulene-2-carbaldehyde;*

*1-phenethyl-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulene-2-carbaldehyde;*

*1-phenethyl-1H-8-thia-1,3-diaza-dibenzo[e,h]azulene-2-carbaldehyde;*

*1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulene-2-carbaldehyde;*

*1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1,3-diaza-dibenzo[e,h]azulene-2-carbaldehyde;*

*5-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulene-2-carbaldehyde;*

*11-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulene-2-carbaldehyde;*

*5-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1,3-diaza-dibenzo[e,h]azulene-2-carbaldehyde;*

*11-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1,3-diaza-dibenzo[e,h]azulene-2-carbaldehyde;*

*3-(1-phenethyl-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-yl)-acrylic acid methyl ester;*

*(1-methyl-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-yl)-methanol;*

*(1-methyl-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-yl)-methanol;*

*(1-phenethyl-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-yl)-methanol;*

*(1-phenethyl-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-yl)-methanol;*

*[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-yl]-methanol;*

*[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-yl]-methanol;*

*[5-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-yl]-methanol;*

*[11-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-yl]-methanol;*

*[5-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-yl]-methanol;*

*[11-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-yl]-methanol;*

*3-(1-phenethyl-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-yl)-propane-1-ol;*

*dimethyl-[2-(1-methyl-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-amine;*

*dimethyl-[3-(1-methyl-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-amine;*

*dimethyl-[2-(1-methyl-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-amine;*

*dimethyl-[3-(1-methyl-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-amine;*

*dimethyl-[2-(1-phenethyl-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-amine;*

*dimethyl-[3-(1-phenethyl-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-amine;*

*dimethyl-[2-(1-phenethyl-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-amine;*

*dimethyl-[3-(1-phenethyl-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-amine;*

*dimethyl-{2-[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy]-ethyl}-amine;*

*dimethyl-[2-(1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-amine;*

*dimethyl-{3-[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-ylmethoxy]-propyl}-amine;*

*dimethyl-[3-(1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-amine;*

*3-[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy]-propylamine;*

*3-(1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-propylamine;*

*dimethyl-{2-[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy]-ethyl}-amine;*

*dimethyl-[2-(1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-amine;*

*dimethyl-{3-[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy]-propyl}-amine;*

*dimethyl-[3-(1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-amine;*



*{3-[5-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy]-propyl}-dimethyl-amine;*  
*[3-(5-chloro-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-dimethyl-amine;*  
*3-[5-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy]-propylamine;*  
*3-(5-chloro-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-propylamine;*  
*{2-[11-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy]-ethyl}-dimethyl-amine;*  
*[2-(11-chloro-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-dimethyl-amine;*  
*{3-[11-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy]-propyl}-dimethyl-amine;*  
*[3-(11-chloro-1H-8-oxa-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-dimethyl-amine;*  
*{2-[5-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy]-ethyl}-dimethyl-amine;*  
*[2-(5-chloro-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-dimethyl-amine;*  
*{3-[5-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy]-propyl}-dimethyl-amine;*  
*[3-(5-chloro-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-dimethyl-amine; and*  
*dimethyl-{3-[3-(1-phenethyl-1H-8-thia-1,3-diaza-dibenzo[e,h]azulen-2-yl)-propoxy]-propyl}-amine.*